## WHAT IS CLAIMED IS:

1. A compound of the Formula A:

$$(R^{1})_{n} = \bigvee_{W \in X} U = \bigvee_{Z} U = \bigvee_{R^{3}} R^{4}$$

$$A \qquad (R^{2})_{p}$$

5 wherein:

a is 0 or 1;

b is 0 or 1;

m is 0, 1 or 2;

10 n is 0, 1 or 2;

p is 0, 1, 2 or 3;

r is 0 or 1;

s is 0 or 1;

t is 2, 3, 4, 5 or 6;

15

25

u, v and x are independently selected from: CH and N;

w is selected from a bond, CH and N;

y and z are independently selected from: CH and N, provided that at least one of y and z is N;

 $R^1$  is independently selected from:

- 1)  $(C=O)_aO_bC_1-C_{10}$  alkyl,
- 2)  $(C=O)_aO_baryl$ ,
- 3) C2-C10 alkenyl,
- 4) C2-C10 alkynyl,
- 5)  $(C=O)_aO_b$  heterocyclyl,

- (C=O)<sub>a</sub>O<sub>b</sub>C<sub>3</sub>-C<sub>8</sub> cycloalkyl, 6) 7) CO<sub>2</sub>H, 8) halo, 9) CN, 5 10) OH, ObC1-C6 perfluoroalkyl, 11)  $O_a(C=O)_bNR^7R^8$ , 12) NRc(C=O)NR7R8, 13) 14) S(O)<sub>m</sub>Ra,  $S(O)_2NR^7R^8$ , 10 15) NRcS(O)mRa,
  - 16)
    - 17) oxo,
    - 18) CHO,
    - NO<sub>2</sub>, 19)
- NRc(C=O)ObRa, 15 20)
  - 21)  $O(C=O)O_bC_1-C_{10}$  alkyl,
  - 22) O(C=O)ObC3-C8 cycloalkyl,
  - 23) O(C=O)Obaryl, and
  - O(C=O)Ob-heterocycle, 24)
- said alkyl, aryl, alkenyl, alkynyl, heterocyclyl, and cycloalkyl optionally substituted 20 with one or more substituents selected from RZ;

R<sup>2</sup> is independently selected from:

- 1)  $(C=O)_aO_bC_1-C_{10}$  alkyl,
- (C=O)aObaryl, 2) 25
  - 3) C2-C10 alkenyl,
  - C2-C10 alkynyl, 4)
  - (C=O)<sub>a</sub>O<sub>b</sub> heterocyclyl, 5)
  - (C=O)aObC3-C8 cycloalkyl, 6)
- 30 7) CO<sub>2</sub>H,
  - 8) halo,
  - CN, 9)
  - OH, 10)
  - ObC1-C6 perfluoroalkyl, 11)

```
12) O_a(C=O)_bNR^7R^8,
```

- 13)  $NR^{c}(C=O)NR^{7}R^{8}$ ,
- 14)  $S(O)_mR^a$ ,
- 15)  $S(O)_2NR^7R^8$ ,
- 5 16)  $NR^{c}S(O)_{m}R^{a}$ ,
  - 17) CHO,
  - 18) NO<sub>2</sub>,
  - 19)  $NR^{c}(C=O)O_{b}R^{a}$ ,
  - 20) O(C=O)ObC1-C10 alkyl,
  - 21) O(C=O)ObC3-C8 cycloalkyl,
  - 22) O(C=O)Obaryl, and
  - 23) O(C=O)Ob-heterocycle,

said alkyl, aryl, alkenyl, alkynyl, heterocyclyl, and cycloalkyl optionally substituted with one, two or three substituents selected from R<sup>z</sup>;

15

10

 ${
m R}^3$  and  ${
m R}^4$  are independently selected from: H, C1-C6-alkyl and C1-C6-perfluoroalkyl, or

R<sup>3</sup> and R<sup>4</sup> are combined to form -(CH<sub>2</sub>)<sub>t</sub>- wherein one of the carbon atoms is optionally replaced by a moiety selected from O, S(O)<sub>m</sub>, -N(R<sup>b</sup>)C(O)-, and -N(COR<sup>a</sup>)-;

R5 and R6 are independently selected from:

- 1) H,
- 25 2)  $(C=O)O_bR^a$ ,
  - 3) C<sub>1</sub>-C<sub>10</sub> alkyl,
  - 4) aryl,
  - 5) C2-C10 alkenyl,
  - 6) C2-C10 alkynyl,
- 30 7) heterocyclyl,
  - 8) C3-C8 cycloalkyl,
  - 9) SO<sub>2</sub>Ra, and
  - 10)  $(C=O)NRb_2$ ,

said alkyl, cycloalkyl, aryl, heterocylyl, alkenyl, and alkynyl is optionally substituted with one or more substituents selected from R<sup>z</sup>, or

R5 and R6 can be taken together with the nitrogen to which they are attached to form a monocyclic or bicyclic heterocycle with 5-7 members in each ring and optionally containing, in addition to the nitrogen, one or two additional heteroatoms selected from N, O and S, said monocyclic or bicyclic heterocycle optionally substituted with Q and also optionally substituted with one or more substituents selected from Rz;

- 10 R7 and R8 are independently selected from:
  - 1) H,

5

25

30

- 2) (C=O)ObC1-C10 alkyl,
- 3) (C=O)ObC3-C8 cycloalkyl,
- 4) (C=O)Obaryl,
- 15 5) (C=O)Obheterocyclyl,
  - 6) C<sub>1</sub>-C<sub>10</sub> alkyl,
  - 7) aryl,
  - 8)  $C_2$ - $C_{10}$  alkenyl,
  - 9) C2-C10 alkynyl,
- 20 10) heterocyclyl,
  - 11) C3-C8 cycloalkyl,
  - 12) SO<sub>2</sub>Ra, and
  - 13)  $(C=O)NRb_2$ ,

said alkyl, cycloalkyl, aryl, heterocylyl, alkenyl, and alkynyl is optionally substituted with one or more substituents selected from Rz, or

R<sup>7</sup> and R<sup>8</sup> can be taken together with the nitrogen to which they are attached to form a monocyclic or bicyclic heterocycle with 5-7 members in each ring and optionally containing, in addition to the nitrogen, one or two additional heteroatoms selected from N, O and S, said monocyclic or bicyclic heterocycle optionally substituted with one or more substituents selected from R<sup>z</sup>;

Rz is selected from:

- 1)  $(C=O)_rO_s(C_1-C_{10})$ alkyl,
- 35 2) O<sub>r</sub>(C<sub>1</sub>-C<sub>3</sub>)perfluoroalkyl,

```
(C0-C6)alkylene-S(O)mRa,
             3)
             4)
                    oxo,
                    OH,
             5)
                    halo,
             6)
5
             7)
                    CN,
                    (C=O)_rO_s(C_2-C_{10})alkenyl,
             8)
                    (C=O)_rO_s(C_2-C_{10})alkynyl,
             9)
                     (C=O)_rO_s(C_3-C_6)cycloalkyl,
             10)
                    (C=O)_rO_8(C_0-C_6)alkylene-aryl,
             11)
                    (C=O)_rO_s(C_0-C_6)alkylene-heterocyclyl,
             12)
10
                     (C=O)_rO_s(C_0-C_6)alkylene-N(R^b)_2,
             13)
                     C(O)R^{a}
             14)
                     (C0-C6)alkylene-CO2Ra,
             15)
                     C(O)H.
              16)
                     (C<sub>0</sub>-C<sub>6</sub>)alkylene-CO<sub>2</sub>H,
15
              17)
                     C(O)N(R^b)_2,
              18)
                     S(O)mRa,
              19)
                     S(O)_2N(R^b)_2
              20)
                     NRc(C=O)ObRa,
              21)
                     O(C=O)O_bC_1-C_{10} alkyl,
20
              22)
                     O(C=O)ObC3-C8 cycloalkyl,
              23)
                     O(C=O)Obaryl, and
              24)
                     O(C=O)Ob-heterocycle,
              25)
```

30

said alkyl, alkenyl, alkynyl, cycloalkyl, aryl, and heterocyclyl is optionally substituted with up to three substituents selected from Rb, OH, (C1-C6)alkoxy, halogen, CO2H, CN, O(C=O)C1-C6 alkyl, oxo, and N(Rb)2;

Ra is substituted or unsubstituted (C<sub>1</sub>-C<sub>6</sub>)alkyl, substituted or unsubstituted (C<sub>2</sub>-C<sub>6</sub>)alkenyl, substituted or unsubstituted (C<sub>2</sub>-C<sub>6</sub>)alkynyl, substituted or unsubstituted (C<sub>3</sub>-C<sub>6</sub>)cycloalkyl, substituted or unsubstituted aryl, (C<sub>1</sub>-C<sub>6</sub>)perfluoroalkyl, 2,2,2-trifluoroethyl, or substituted or unsubstituted heterocyclyl; and

Rb is H,  $(C_1-C_6)$ alkyl, substituted or unsubstituted aryl, substituted or unsubstituted benzyl, substituted or unsubstituted heterocyclyl,  $(C_3-C_6)$ cycloalkyl,  $(C=0)C_1-C_6$  alkyl,  $(C=0)C_1-C_6$  alkyl or  $S(O)_2R^a$ ;

- 5 Rc is selected from:
  - 1) H,
  - 2)  $C_1$ - $C_{10}$  alkyl,
  - 3) aryl,
  - 4) C2-C10 alkenyl,
- 10 5) C2-C10 alkynyl,
  - 6) heterocyclyl,
  - 7) C3-C8 cycloalkyl,
  - . 8) C<sub>1</sub>-C<sub>6</sub> perfluoroalkyl,

said alkyl, cycloalkyl, aryl, heterocylyl, alkenyl, and alkynyl is optionally substituted with one or more substituents selected from Rz, or

or a pharmaceutically acceptable salt or a stereoisomer thereof.

## 2. A compound of the Formula B:

$$(R^{1})_{n} \xrightarrow{V}_{W}^{u}_{X}$$

$$R^{2})_{p}$$

$$R^{2})_{p}$$

20

wherein:

a is 0 or 1;

b is 0 or 1;

25 m is 0, 1 or 2;

n is 0, 1 or 2;

```
p is 0, 1 or 2;
     q is 0, 1, 2, 3 or 4;
     r is 0 or 1;
     s is 0 or 1;
     t is 2, 3, 4, 5 or 6;
5
     u, v and x are independently selected from: CH and N;
      w is selected from a bond, CH and N;
10
      Q is selected from: -NR<sup>7</sup>R<sup>8</sup>, aryl and heterocyclyl, said aryl and heterocyclyl
      optionally substituted with one to three substituents selected from Rz;
      R1 is independently selected from:
                     (C=O)aObC1-C10 alkyl,
15
              1)
                      (C=O)aObaryl,
              2)
                      C2-C10 alkenyl,
              3)
                      C2-C10 alkynyl,
              4)
                      (C=O)aOb heterocyclyl,
              5)
                      (C=O)aObC3-C8 cycloalkyl,
20
              6)
              7)
                      CO<sub>2</sub>H,
              8)
                      halo,
                      CN,
              9)
                      OH,
              10)
                      ObC1-C6 perfluoroalkyl,
25
              11)
                      O_a(C=O)_bNR^7R^8,
              12)
                      NR^{c}(C=O)NR^{7}R^{8},
              13)
               14)
                      S(O)_mR^a,
                      S(O)_2NR^7R^8,
               15)
                      NRcS(O)mRa,
               16)
 30
               17)
                       oxo,
                       CHO,
               18)
               19)
                       NO<sub>2</sub>,
                       NRc(C=O)ObRa,
               20)
                       O(C=O)ObC1-C10 alkyl,
               21)
 35
```

- 22) O(C=O)ObC3-C8 cycloalkyl,
- 23) O(C=O)Obaryl, and
- 24) O(C=O)Ob-heterocycle,

said alkyl, aryl, alkenyl, alkynyl, heterocyclyl, and cycloalkyl optionally substituted with one or more substituents selected from R<sup>z</sup>;

R2 is independently selected from:

- 1)  $(C=O)_aO_bC_1-C_{10}$  alkyl,
- 2) (C=O)<sub>a</sub>O<sub>b</sub>aryl,
- 10 3) C2-C10 alkenyl,
  - 4) C2-C10 alkynyl,
  - 5) (C=O)<sub>a</sub>O<sub>b</sub> heterocyclyl,
  - 6) (C=O)<sub>a</sub>O<sub>b</sub>C<sub>3</sub>-C<sub>8</sub> cycloalkyl,
  - 7) CO<sub>2</sub>H,
- 15 8) halo,
  - 9) CN,
  - 10) OH,
  - 11) ObC1-C6 perfluoroalkyl,
  - 12)  $O_a(C=O)_bNR^7R^8$ ,
- 20 13)  $NR^{c}(C=O)NR^{7}R^{8}$ ,
  - 14)  $S(O)_mR^a$ ,
  - 15)  $S(O)_2NR^7R^8$ ,
  - 16)  $NR^{c}S(O)_{m}R^{a}$ ,
  - 17) CHO,
- 25 18) NO<sub>2</sub>,
  - 19)  $NR^{c}(C=O)O_{b}R^{a}$ ,
  - 20)  $O(C=O)O_bC_1-C_{10}$  alkyl,
  - 21) O(C=O)ObC3-C8 cycloalkyl,
  - 22) O(C=O)Obaryl, and
- 30 23) O(C=O)Ob-heterocycle,

said alkyl, aryl, alkenyl, alkynyl, heterocyclyl, and cycloalkyl optionally substituted with one, two or three substituents selected from  $R^z$ ;  $R^3$  and  $R^4$  are independently selected from: H,  $C_1$ - $C_6$ -alkyl and  $C_1$ - $C_6$ -

merfluoroalkyl, or

 $R^3$  and  $R^4$  are combined to form -(CH<sub>2</sub>)<sub>t</sub>- wherein one of the carbon atoms is optionally replaced by a moiety selected from O, S(O)<sub>m</sub>, -N(R<sup>b</sup>)C(O)-, and -N(COR<sup>a</sup>)-;

5

25

R7 and R8 are independently selected from:

- 1) H,
- 2)  $(C=O)O_bC_1-C_{10}$  alkyl,
- 3) (C=O)ObC3-C8 cycloalkyl,
- 10 4) (C=O)Obaryl,
  - 5) (C=O)Obheterocyclyl,
  - 6) C<sub>1</sub>-C<sub>10</sub> alkyl,
  - 7) aryl,
  - C2-C10 alkenyl,
- 15 9) C2-C10 alkynyl,
  - 10) heterocyclyl,
  - 11) C3-C8 cycloalkyl,
  - 12) SO<sub>2</sub>Ra, and
  - 13)  $(C=O)NRb_2$ ,

said alkyl, cycloalkyl, aryl, heterocylyl, alkenyl, and alkynyl is optionally substituted with one or more substituents selected from Rz, or

R<sup>7</sup> and R<sup>8</sup> can be taken together with the nitrogen to which they are attached to form a monocyclic or bicyclic heterocycle with 5-7 members in each ring and optionally containing, in addition to the nitrogen, one or two additional heteroatoms selected from N, O and S, said monocyclic or bicyclic heterocycle optionally substituted with one or more substituents selected from R<sup>z</sup>;

RZ is selected from:

- 30 1)  $(C=O)_{r}O_{s}(C_{1}-C_{10})$ alkyl,
  - 2)  $O_r(C_1-C_3)$  perfluoroalkyl,
  - 3)  $(C_0-C_6)$ alkylene- $S(O)_mR^a$ ,
  - 4) oxo,
  - 5) OH,
- 35 6) halo,

CN. 7)  $(C=O)_rO_s(C_2-C_{10})$ alkenyl, 8)  $(C=O)_rO_s(C_2-C_{10})$ alkynyl, 9)  $(C=O)_rO_s(C_3-C_6)$ cycloalkyl, 10)  $(C=O)_rO_s(C_0-C_6)$ alkylene-aryl, 5 11)  $(C=O)_rO_s(C_0-C_6)$ alkylene-heterocyclyl, 12)  $(C=O)_rO_s(C_0-C_6)$ alkylene- $N(R^b)_2$ , 13)  $C(O)R^{a}$ 14) (C0-C6)alkylene-CO2Ra, 15) C(O)H, 16) 10 (C0-C6)alkylene-CO2H, 17)  $C(O)N(R^b)_2$ , 18)  $S(O)_mR^a$ , 19)  $S(O)_2N(R^b)_2$ 20) NRc(C=O)ObRa, 15 21)  $O(C=O)O_bC_1-C_{10}$  alkyl, 22) O(C=O)ObC3-C8 cycloalkyl, 23) O(C=O)Obaryl, and 24)

O(C=O)Ob-heterocycle,

- said alkyl, alkenyl, alkynyl, cycloalkyl, aryl, and heterocyclyl is optionally substituted with up to three substituents selected from Rb, OH, (C1-C6)alkoxy, halogen, CO2H, CN, O(C=O)C1-C6 alkyl, oxo, and N(Rb)2;
- R<sup>a</sup> is (C<sub>1</sub>-C<sub>6</sub>)alkyl, (C<sub>2</sub>-C<sub>6</sub>)alkenyl, (C<sub>2</sub>-C<sub>6</sub>)alkynyl, (C<sub>3</sub>-C<sub>6</sub>)cycloalkyl, substituted or unsubstituted aryl, (C<sub>1</sub>-C<sub>6</sub>)perfluoroalkyl, 2,2,2-trifluoroethyl, or substituted or unsubstituted heterocyclyl; and
  - Rb is H, (C1-C6)alkyl, aryl, heterocyclyl, (C3-C6)cycloalkyl, (C=O)OC1-C6 alkyl, (C=O)C1-C6 alkyl or S(O)<sub>2</sub>Ra;

30

Rc is selected from:

25)

- 1) H,
- 2) C<sub>1</sub>-C<sub>10</sub> alkyl,
- 3) aryl,

- 4) C2-C10 alkenyl,
- 5) C2-C10 alkynyl,
- 6) heterocyclyl,
- 7) C3-C8 cycloalkyl,
- 5 8) C<sub>1</sub>-C<sub>6</sub> perfluoroalkyl,

said alkyl, cycloalkyl, aryl, heterocylyl, alkenyl, and alkynyl is optionally substituted with one or more substituents selected from  $R^{\rm Z}$ , or

or a pharmaceutically acceptable salt or a stereoisomer thereof.

10

## 3. The compound according to Claim 1 which is:

$$(R^{1})_{n} - \bigvee_{W = X}^{u} \bigvee_{X}^{N} \bigcap_{Q} C$$

wherein:

15 a is 0 or 1;

b is 0 or 1;

m is 0, 1 or 2;

n is 0, 1 or 2;

p is 0, 1 or 2;

20 r is 0 or 1;

s is 0 or 1;

u, v and x are independently selected from: CH and N;

w is selected from a bond, CH and N;

25

Q is selected from: -NR $^7$ R $^8$  and heterocyclyl, said heterocyclyl optionally substituted with one to three substituents selected from R $^z$ ;

R1 is independently selected from:

- 1)  $(C=O)_aO_bC_1-C_{10}$  alkyl,
- 2)  $(C=O)_aO_baryl$ ,
- 3) C2-C10 alkenyl,
- 5 4) C2-C<sub>10</sub> alkynyl,
  - 5) (C=O)<sub>a</sub>O<sub>b</sub> heterocyclyl,
  - 6)  $(C=O)_aO_bC_3-C_8$  cycloalkyl,
  - 7) CO<sub>2</sub>H,
  - 8) halo,
- 10 9) CN,
  - 10) OH,
    - 11) ObC1-C6 perfluoroalkyl,
    - 12)  $O_a(C=O)_bNR^7R^8$ ,
    - 13)  $NR^{c}(C=O)NR^{7}R^{8}$ ,
- 15 14)  $S(O)_m R^a$ ,
  - 15)  $S(O)_2NR^7R^8$ ,
  - 16) NRcS(O)mRa,
  - 17) oxo,
  - 18) CHO,
- 20 19) NO<sub>2</sub>,
  - 20) NRc(C=O)ObRa,
  - 21)  $O(C=O)O_bC_1-C_{10}$  alkyl,
  - 22) O(C=O)ObC3-C8 cycloalkyl,
  - 23) O(C=O)Obaryl, and
- 25 24) O(C=O)Ob-heterocycle,

said alkyl, aryl, alkenyl, alkynyl, heterocyclyl, and cycloalkyl optionally substituted with one or more substituents selected from  $R^z$ ;

R<sup>2</sup> is independently selected from:

- 30 1) (C=O)<sub>a</sub>O<sub>b</sub>C<sub>1</sub>-C<sub>10</sub> alkyl,
  - 2)  $(C=O)_aO_baryl$ ,
  - 3) C2-C<sub>10</sub> alkenyl,
  - 4) C2-C<sub>10</sub> alkynyl,
  - 5) (C=O)<sub>a</sub>O<sub>b</sub> heterocyclyl,

```
(C=O)aObC3-C8 cycloalkyl,
            6)
            7)
                   CO<sub>2</sub>H,
                   halo,
            8)
                   CN,
            9)
                   OH,
            10)
5
                   ObC1-C6 perfluoroalkyl,
            11)
                   O_a(C=O)_bNR^7R^8,
            12)
                   NRc(C=O)NR7R8,
            13)
                   S(O)_mR^a,
            14)
                   S(O)_2NR^7R^8,
10
            15)
                   NRcS(O)mRa,
            16)
                    CHO,
            17)
                    NO<sub>2</sub>,
            18)
                    NRc(C=O)ObRa,
            19)
                    O(C=O)O_bC_1-C_{10} alkyl,
            20)
15
            21)
                    O(C=O)ObC3-C8 cycloalkyl,
            22)
                    O(C=O)Obaryl, and
```

said alkyl, aryl, alkenyl, alkynyl, heterocyclyl, and cycloalkyl optionally substituted with one, two or three substituents selected from Rz;

 $\ensuremath{R^7}$  and  $\ensuremath{R^8}$  are independently selected from:

O(C=O)Ob-heterocycle,

1) H, (C:

23)

- 2)  $(C=O)O_bC_1-C_{10}$  alkyl,
- 25 3) (C=O)ObC3-C8 cycloalkyl,
  - 4) (C=O)Obaryl,
  - 5) (C=O)Obheterocyclyl,
  - 6) C<sub>1</sub>-C<sub>10</sub> alkyl,
  - 7) aryl,
- 30 8) C2-C10 alkenyl,
  - 9) C2-C10 alkynyl,
  - 10) heterocyclyl,
  - 11) C3-C8 cycloalkyl,
  - 12) SO<sub>2</sub>Ra, and

13)  $(C=O)NRb_{2}$ 

said alkyl, cycloalkyl, aryl, heterocylyl, alkenyl, and alkynyl is optionally substituted with one or more substituents selected from R<sup>z</sup>, or

R<sup>7</sup> and R<sup>8</sup> can be taken together with the nitrogen to which they are attached to form a monocyclic or bicyclic heterocycle with 5-7 members in each ring and optionally containing, in addition to the nitrogen, one or two additional heteroatoms selected from N, O and S, said monocyclic or bicyclic heterocycle optionally substituted with one or more substituents selected from R<sup>z</sup>:

10

Rz is selected from:

- 1)  $(C=O)_rO_s(C_1-C_{10})$ alkyl,
- 2)  $O_r(C_1-C_3)$  perfluoroalkyl,
- 3) (C<sub>0</sub>-C<sub>6</sub>)alkylene-S(O)<sub>m</sub>Ra,
- 15 4) oxo,
  - 5) OH,
  - 6) halo,
  - 7) CN,
  - 8)  $(C=O)_rO_s(C_2-C_{10})$ alkenyl,
- 20 9)  $(C=O)_{r}O_{s}(C_{2}-C_{10})$ alkynyl,
  - 10)  $(C=O)_rO_s(C_3-C_6)$ cycloalkyl,
  - 11)  $(C=O)_rO_s(C_0-C_6)$ alkylene-aryl,
  - 12) (C=O)<sub>r</sub>O<sub>s</sub>(C<sub>0</sub>-C<sub>6</sub>)alkylene-heterocyclyl,
  - 13)  $(C=O)_rO_s(C_0-C_6)$ alkylene- $N(R^b)_2$ ,
- 25 14) C(O)Ra,
  - 15) (C0-C6)alkylene-CO<sub>2</sub>R<sup>a</sup>,
  - 16) C(O)H,
  - 17) (C<sub>0</sub>-C<sub>6</sub>)alkylene-CO<sub>2</sub>H,
  - 18)  $C(O)N(R^b)_{2}$
- 30 19)  $S(O)_m R^a$ , and
  - 20)  $S(O)_2NR_9R_{10}$
  - 21)  $NR^{c}(C=O)O_{b}R^{a}$
  - 22) O(C=O)ObC1-C10 alkyl,
  - 23) O(C=O)ObC3-C8 cycloalkyl,

- 24) O(C=O)Obaryl, and
- 25) O(C=O)Ob-heterocycle,

said alkyl, alkenyl, alkynyl, cycloalkyl, aryl, and heterocyclyl is optionally substituted with up to three substituents selected from Rb, OH, (C1-C6)alkoxy, halogen, CO2H,

5 CN,  $O(C=O)C_1-C_6$  alkyl, oxo, and  $N(R^b)_2$ ;

Ra is (C1-C6)alkyl, (C2-C6)alkenyl, (C2-C6)alkynyl, (C3-C6)cycloalkyl, substituted or unsubstituted aryl, (C1-C6)perfluoroalkyl, 2,2,2-trifluoroethyl, or substituted or unsubstituted heterocyclyl; and

10

Rb is H, (C1-C6)alkyl, aryl, heterocyclyl, (C3-C6)cycloalkyl, (C=O)OC1-C6 alkyl, (C=O)C1-C6 alkyl or S(O)<sub>2</sub>Ra;

Rc is selected from:

- 15 1) H,
  - 2) C<sub>1</sub>-C<sub>10</sub> alkyl,
  - 3) aryl,
  - 4) C2-C10 alkenyl,
  - 5) C2-C<sub>10</sub> alkynyl,
- 20
- 6) heterocyclyl,
- 7) C3-C8 cycloalkyl,
- 8) C1-C6 perfluoroalkyl,

said alkyl, cycloalkyl, aryl, heterocylyl, alkenyl, and alkynyl is optionally substituted with one or more substituents selected from R<sup>z</sup>, or

25

or a pharmaceutically acceptable salt or a stereoisomer thereof.

4. The compound according to Claim 2 which is:

$$(R^{1})_{n} \xrightarrow{V}_{W} X$$

$$C \qquad (R^{2})_{p}$$

wherein:

5

a is 0 or 1;

b is 0 or 1;

m is 0, 1 or 2;

n is 0, 1 or 2;

10 p is 0, 1 or 2;

r is 0 or 1;

s is 0 or 1;

u, v and x are independently selected from: CH and N;

15

20

w is selected from a bond, CH and N;

Q is selected from: -NR<sup>7</sup>R<sup>8</sup>, phenyl, benzimidazolyl, benzimidazolonyl, quinolinyl and isoquinolinyl, said benzimidazolyl, benzimidazolonyl, quinolinyl and isoquinolinyl optionally substituted with  $R^z$ ;

 $R^{\scriptsize 1}$  is independently selected from:

- 1)  $(C=O)_aO_bC_1-C_{10}$  alkyl,
- 2) (C=O)<sub>a</sub>O<sub>b</sub>aryl,
- 25 3) C2-C10 alkenyl,
  - 4) C2-C10 alkynyl,
  - 5) (C=O)<sub>a</sub>O<sub>b</sub> heterocyclyl,
  - 6) (C=O) $_a$ O $_b$ C $_3$ -C $_8$  cycloalkyl,

7) CO<sub>2</sub>H, 8) halo, CN, 9) 10) OH, ObC1-C6 perfluoroalkyl, 5 11)  $O_a(C=O)_bNR^7R^8$ , 12)  $NRc(C=O)NR^7R^8$ , 13) 14)  $S(O)_mR^a$ ,  $S(O)_2NR^7R^8$ , 15)  $NRcS(O)_mRa$ , 10 16) 17) oxo, CHO, 18) NO<sub>2</sub>, 19) NRc(C=O)ObRa, 20)  $O(C=O)O_bC_1-C_{10}$  alkyl, 21) 15 O(C=O)ObC3-C8 cycloalkyl, 22) O(C=O)Obaryl, and 23) O(C=O)Ob-heterocycle, 24)

said alkyl, aryl, alkenyl, alkynyl, heterocyclyl, and cycloalkyl optionally substituted with one or more substituents selected from Rz;

 $R^2$  is independently selected from:

- 1)  $(C=O)_aO_bC_1-C_{10}$  alkyl,
- 2)  $(C=O)_aO_baryl$ ,
- 25 3) C2-C<sub>10</sub> alkenyl,
  - 4) C2-C<sub>10</sub> alkynyl,
  - 5) (C=O)<sub>a</sub>O<sub>b</sub> heterocyclyl,
  - 6)  $(C=O)_aO_bC_3-C_8$  cycloalkyl,
  - 7) CO<sub>2</sub>H,
- 30 8) halo,
  - 9) CN,
  - 10) OH,
  - 11) ObC1-C6 perfluoroalkyl,
  - 12)  $O_a(C=O)_bNR^7R^8$ ,

 $NR^{c}(C=O)NR^{7}R^{8}$ , 13)  $S(O)_mR^a$ , 14)  $S(O)_2NR^7R^8$ , 15) NRcS(O)mRa, 16) 17) CHO, 5 18) NO2, NRc(C=O)ObRa, 19) O(C=O)ObC1-C10 alkyl, 20) O(C=O)ObC3-C8 cycloalkyl, 21) O(C=O)Obaryl, and 22) 10 O(C=O)Ob-heterocycle, 23)

said alkyl, aryl, alkenyl, alkynyl, heterocyclyl, and cycloalkyl optionally substituted with one, two or three substituents selected from Rz;

- 15 R7 and R8 are independently selected from:
  - 1) H,
  - 2)  $(C=O)O_bC_1-C_{10}$  alkyl,
  - 3) (C=O)ObC3-C8 cycloalkyl,
  - 4) (C=O)Obaryl,
- 20 5) (C=O)Obheterocyclyl,
  - 6)  $C_1$ - $C_{10}$  alkyl,
  - 7) aryl,
  - 8) C2-C<sub>10</sub> alkenyl,
  - 9) C2-C<sub>10</sub> alkynyl,
- 25 10) heterocyclyl,

30

- 11) C3-C8 cycloalkyl,
- 12) SO<sub>2</sub>Ra, and
- 13)  $(C=O)NRb_2$ ,

said alkyl, cycloalkyl, aryl, heterocylyl, alkenyl, and alkynyl is optionally substituted with one or more substituents selected from R<sup>z</sup>, or

R<sup>7</sup> and R<sup>8</sup> can be taken together with the nitrogen to which they are attached to form a monocyclic or bicyclic heterocycle with 5-7 members in each ring and optionally containing, in addition to the nitrogen, one or two additional heteroatoms selected

from N, O and S, said monocyclic or bicyclic heterocycle optionally substituted with one or more substituents selected from R<sup>z</sup>;

RZ is selected from:

```
1) (C=O)_rO_s(C_1-C_{10})alkyl,
```

- 2)  $O_r(C_1-C_3)$  perfluoroalkyl,
  - 3)  $(C_0-C_6)$ alkylene- $S(O)_mR^a$ ,
  - 4) oxo,
  - 5) OH,
  - 6) halo,
- 10 7) CN,

5

- 8)  $(C=O)_rO_s(C_2-C_{10})$ alkenyl,
- 9)  $(C=O)_rO_s(C_2-C_{10})$ alkynyl,
- 10)  $(C=O)_rO_s(C_3-C_6)$ cycloalkyl,
- 11)  $(C=O)_TO_S(C_0-C_6)$ alkylene-aryl,
- 15 (C=O) $_{r}O_{s}$ (C0-C6)alkylene-heterocyclyl,
  - 13)  $(C=O)_TO_S(C_0-C_6)$ alkylene- $N(R^b)_2$ ,
  - 14)  $C(O)R^a$ ,
  - 15) (C<sub>0</sub>-C<sub>6</sub>)alkylene-CO<sub>2</sub>R<sup>a</sup>,
  - 16) C(O)H,
- 20 17) (C<sub>0</sub>-C<sub>6</sub>)alkylene-CO<sub>2</sub>H,
  - 18)  $C(O)N(R^b)_2$ ,
  - 19)  $S(O)_mR^a$ ,
  - 20)  $S(O)_2NR^9R^{10}$
  - 21)  $NR^{c}(C=O)O_{b}R^{a}$ ,
- - 23) O(C=O)ObC3-C8 cycloalkyl,
  - 24) O(C=O)Obaryl, and
  - 25) O(C=O)O<sub>b</sub>-heterocycle,

said alkyl, alkenyl, alkynyl, cycloalkyl, aryl, and heterocyclyl is optionally substituted with up to three substituents selected from Rb, OH, (C1-C6)alkoxy, halogen, CO2H, CN, O(C=O)C1-C6 alkyl, oxo, and N(Rb)2;

Ra is (C1-C6)alkyl, (C3-C6)cycloalkyl, aryl, or heterocyclyl; and

Rb is H, (C1-C6)alkyl, aryl, heterocyclyl, (C3-C6)cycloalkyl, (C=O)OC1-C6 alkyl, (C=O)C1-C6 alkyl or  $S(O)_2R^a$ ;

RC is selected from:

5

- 1) H,
- 2)  $C_1$ - $C_{10}$  alkyl,
- 3) aryl,
- 4) C2-C10 alkenyl,
- 5) C2-C10 alkynyl,
- 6) heterocyclyl,
- 10 7) C3-C8 cycloalkyl,
  - 8) C1-C6 perfluoroalkyl,

said alkyl, cycloalkyl, aryl, heterocylyl, alkenyl, and alkynyl is optionally substituted with one or more substituents selected from R<sup>z</sup>, or

- or a pharmaceutically acceptable salt or a stereoisomer thereof.
  - 5. The compound according to Claim 4 of the Formula D:

$$(R^1)_n \xrightarrow{V}_{W} X$$
 $D$ 
 $(R^2)_p$ 

wherein

20 a is 0 or 1;

b is 0 or 1;

m is 0, 1 or 2;

n is 0, 1 or 2;

p is 0, 1 or 2;

25 r is 0 or 1;

s is 0 or 1;

u, v and x are independently selected from: CH and N;

w is selected from a bond, CH and N;

Q is selected from: 
$$-NR^{7}R^{8}$$
,  $R^{z}_{(0-3)}$  and  $-\frac{1}{2}$   $R^{z}_{(0-3)}$ 

R1 is independently selected from:

- 1)  $(C=O)_aO_bC_1-C_{10}$  alkyl,
- 5 2)  $(C=O)_aO_baryl$ ,
  - 3) C2-C<sub>10</sub> alkenyl,
  - 4) C2-C10 alkynyl,
  - 5) (C=O)<sub>a</sub>O<sub>b</sub> heterocyclyl,
  - 6) (C=O)aObC3-C8 cycloalkyl,
- 10 7) CO<sub>2</sub>H,
  - 8) halo,
  - 9) CN,
  - 10) OH,
  - 11) ObC1-C6 perfluoroalkyl,
- - 13)  $NR^{c}(C=O)NR^{7}R^{8}$ ,
  - 14)  $S(O)_m R^a$ ,
  - 15)  $S(O)_2NR^7R^8$ ,
  - 16)  $NR^{c}S(O)_{m}R^{a}$ ,
- 20 17) oxo,
  - 18) CHO,
  - 19) NO<sub>2</sub>,
  - 20)  $NR^{c}(C=O)O_{b}R^{a}$ ,
  - 21)  $O(C=O)O_bC_1-C_{10}$  alkyl,
- 25 O(C=O)ObC3-C8 cycloalkyl,
  - 23) O(C=O)Obaryl, and
  - 24) O(C=O)O<sub>b</sub>-heterocycle,

said alkyl, aryl, alkenyl, alkynyl, heterocyclyl, and cycloalkyl optionally substituted with one or more substituents selected from R<sup>z</sup>;

R<sup>2</sup> is independently selected from:

- 1) C<sub>1</sub>-C<sub>6</sub> alkyl,
- 2) aryl,
- 3) heterocyclyl,
- 5 4) CO<sub>2</sub>H,
  - 5) halo,
  - 6) CN,
  - 7) OH,
  - 8)  $S(O)_2NR^7R^8$ ,
- said alkyl, aryl and heterocyclyl optionally substituted with one, two or three substituents selected from Rz;

R7 and R8 are independently selected from:

- 1) H,
- 15 2) (C=O)O<sub>b</sub>C<sub>1</sub>-C<sub>10</sub> alkyl,
  - 3) (C=O)ObC3-C8 cycloalkyl,
  - 4) (C=O)Obaryl,
  - 5) (C=O)Obheterocyclyl,
  - 6) C<sub>1</sub>-C<sub>10</sub> alkyl,
- 20 7) aryl,
  - 8) C2-C10 alkenyl,
  - 9) C2-C10 alkynyl,
  - 10) heterocyclyl,
  - 11) C3-C8 cycloalkyl,
- 25 12) SO<sub>2</sub>R<sup>a</sup>, and
  - 13)  $(C=O)NR^{b}2$ ,

said alkyl, cycloalkyl, aryl, heterocylyl, alkenyl, and alkynyl is optionally substituted with one or more substituents selected from Rz, or

R7 and R8 can be taken together with the nitrogen to which they are attached to form a monocyclic or bicyclic heterocycle with 5-7 members in each ring and optionally containing, in addition to the nitrogen, one or two additional heteroatoms selected from N, O and S, said monocyclic or bicyclic heterocycle optionally substituted with one or more substituents selected from R<sup>z</sup>;

RZ is selected from:

- 1)  $(C=O)_rO_s(C_1-C_{10})$ alkyl,
- 2) O<sub>r</sub>(C<sub>1</sub>-C<sub>3</sub>)perfluoroalkyl,
- 3)  $(C_0-C_6)$ alkylene- $S(O)_mR^a$ ,
- 5 4) oxo,
  - 5) OH,
  - 6) halo,
  - 7) CN,
  - 8)  $(C=O)_rO_s(C_2-C_{10})$ alkenyl,
- 10 9)  $(C=O)_rO_s(C_2-C_{10})$ alkynyl,
  - 10)  $(C=O)_rO_s(C_3-C_6)$ cycloalkyl,
  - 11)  $(C=O)_rO_s(C_0-C_6)$ alkylene-aryl,
  - 12) (C=O)<sub>r</sub>O<sub>s</sub>(C<sub>0</sub>-C<sub>6</sub>)alkylene-heterocyclyl,
  - 13)  $(C=O)_rO_s(C_0-C_6)$ alkylene- $N(R^b)_2$ ,
- 15 14) C(O)Ra,
  - 15) (C<sub>0</sub>-C<sub>6</sub>)alkylene-CO<sub>2</sub>R<sup>a</sup>,
  - 16) C(O)H,
  - 17) (C<sub>0</sub>-C<sub>6</sub>)alkylene-CO<sub>2</sub>H,
  - 18)  $C(O)N(R^b)_2$ ,
- 20 19)  $S(O)_m R^a$ ,

30

- 20)  $S(O)_2N(R^b)_2$
- 21) NRc(C=O)ObRa,
- 22)  $O(C=O)O_bC_1-C_{10}$  alkyl,
- 23) O(C=O)ObC3-C8 cycloalkyl,
- 25 O(C=O)Obaryl, and
  - 25) O(C=O)Ob-heterocycle,

said alkyl, alkenyl, alkynyl, cycloalkyl, aryl, and heterocyclyl is optionally substituted with up to three substituents selected from  $R^b$ , OH, (C1-C6)alkoxy, halogen, CO<sub>2</sub>H, CN, O(C=O)C1-C6 alkyl, oxo, and N( $R^b$ )2;

Ra is (C1-C6)alkyl, (C3-C6)cycloalkyl, aryl, or heterocyclyl; and

Rb is H, (C1-C6)alkyl, aryl, heterocyclyl, (C3-C6)cycloalkyl, (C=O)OC1-C6 alkyl, (C=O)C1-C6 alkyl or S(O)<sub>2</sub>Ra;

Rc is selected from:

- 1) H,
- 2) C<sub>1</sub>-C<sub>10</sub> alkyl,
- 5 3) aryl,
  - 4) C2-C10 alkenyl,
  - 5) C2-C<sub>10</sub> alkynyl,
  - 6) heterocyclyl,
  - 7) C3-C8 cycloalkyl,
- 10 8) C<sub>1</sub>-C<sub>6</sub> perfluoroalkyl,

said alkyl, cycloalkyl, aryl, heterocylyl, alkenyl, and alkynyl is optionally substituted with one or more substituents selected from  $R^{\rm Z}$ , or

or a pharmaceutically acceptable salt or a stereoisomer thereof.

15

- 6. The TFA salt of a compound according to Claim 1 which is selected from:
- 1-{1-[4-(7-phenyl-1H-imidazo[4,5-g]quinoxalin-6-yl)benzyl]piperidin-4-yl}-1,3-dihydro-2H-benzimidazol-2-one;
  - N,N-dimethyl-1-[4-(6-phenyl-1H-imidazo[4,5-g]quinoxalin-7-yl)phenyl]metanamine;
- 1-{1-[4-(3-phenylbenzo[g]quinoxalin-2-yl)benzyl]piperidin-4-yl}-1,3-dihydro-2H-25 benzimidazol-2-one;
  - N-{(3R)-1-[4-(7-phenyl-1H-imidazo[4,5-g]quinoxalin-6-yl)benzyl]pyrrolidin-3-yl}-1,3-thiazole-5-carboxamide;
- 30 tert-butyl 1-[4-(7-phenyl-1H-imidazo[4,5-g]quinoxalin-6-yl)benzyl]azetidin-3-ylcarbamate;
  - 9-{1-[4-(7-phenyl-1H-imidazo[4,5-g]quinoxalin-6-yl)benzyl]piperidin-4-yl}-9H-purin-6-amine;

6-(4-{[4-(3H-imidazo[4,5-b]pyridin-3-yl)piperidin-1-yl]methyl}phenyl)-7-phenyl-1H-imidazo[4,5-g]quinoxaline;

or a stereoisomer thereof.

## 7. A compound which is selected from:

1-{1-[4-(7-phenyl-1H-imidazo[4,5-g]quinoxalin-6-yl)benzyl]piperidin-4-yl}-1,3-dihydro-2H-benzimidazol-2-one;

N, N-dimethyl-1-[4-(6-phenyl-1H-imidazo[4,5-g]quinoxalin-7-yl) phenyl] metanamine;

1-{1-[4-(3-phenylbenzo[g]quinoxalin-2-yl)benzyl]piperidin-4-yl}-1,3-dihydro-2H-10 benzimidazol-2-one;

 $N-\{(3R)-1-[4-(7-phenyl-1H-imidazo[4,5-g]quinoxalin-6-yl)benzyl]pyrrolidin-3-yl\}-1,3-thiazole-5-carboxamide;$ 

tert-butyl 1-[4-(7-phenyl-1H-imidazo[4,5-g]quinoxalin-6-yl)benzyl]azetidin-3-ylcarbamate;

 $9-\{1-[4-(7-phenyl-1H-imidazo[4,5-g]quinoxalin-6-yl)benzyl] piperidin-4-yl\}-9H-purin-6-amine;$ 

20

6-(4-{[4-(3H-imidazo[4,5-b]pyridin-3-yl)piperidin-1-yl]methyl}phenyl)-7-phenyl-1H-imidazo[4,5-g]quinoxaline;

or a pharmaceutically acceptable salt or a stereoisomer thereof.

- 8. A pharmaceutical composition comprising a pharmaceutical carrier, and dispersed therein, a therapeutically effective amount of a compound of Claim 1.
- 9. A pharmaceutical composition comprising a pharmaceutical carrier, and dispersed therein, a therapeutically effective amount of a compound of Claim 7.
- 10. A method of inhibiting one or more of the isoforms of Akt in a mammal which comprises administering to the mammal a therapeutically effective amount of a compound of Claim 1.

11. A method of inhibiting one or more of the isoforms of Akt in a mammal which comprises administering to the mammal a therapeutically effective amount of a compound of Claim 7.

- 5 12. A method for treating cancer which comprises administering to a mammal in need thereof a therapeutically effective amount of a compound of Claim 1.
- 13. A method for treating cancer which comprises administering to a mammal in need thereof a therapeutically effective amount of a compound of Claim 7.
  - 14. A pharmaceutical composition made by combining the compound of Claim 1 and a pharmaceutically acceptable carrier.

15. A process for making a pharmaceutical composition comprising combining a compound of Claim 1 and a pharmaceutically acceptable carrier.

20 16. The composition of Claim 8 further comprising a second compound selected from:

- 1) an estrogen receptor modulator,
- 2) an androgen receptor modulator,
- 3) retinoid receptor modulator,
- 25 4) a cytotoxic agent,

15

- 5) an antiproliferative agent,
- 6) a prenyl-protein transferase inhibitor,
- 7) an HMG-CoA reductase inhibitor,
- 8) an HIV protease inhibitor,
- 9) a reverse transcriptase inhibitor,
- 10) an angiogenesis inhibitor,
- 11) a PPAR-γ agonists,
- 12) a PPAR-δ agonists,
- 13) an inhibitor of cell proliferation and survival signaling, and
- an agent that interfers with a cell cycle checkpoint.

17. The composition of Claim 16, wherein the second compound is an angiogenesis inhibitor selected from the group consisting of a tyrosine kinase inhibitor, an inhibitor of epidermal-derived growth factor, an inhibitor of fibroblast-derived growth factor, an inhibitor of platelet derived growth factor, an MMP inhibitor, an integrin blocker, interferon-•, interleukin-12, pentosan polysulfate, a cyclooxygenase inhibitor, carboxyamidotriazole, combretastatin A-4, squalamine, 6-O-(chloroacetyl-carbonyl)-fumagillol, thalidomide, angiostatin and troponin-1.

5.

- 18. The composition of Claim 16, wherein the second compound is an estrogen receptor modulator selected from tamoxifen and raloxifene.
  - 19. A method of treating cancer which comprises administering a therapeutically effective amount of a compound of Claim 1 in combination with radiation therapy.
  - 20. A method of treating or preventing cancer which comprises administering a therapeutically effective amount of a compound of Claim 1 in combination with a compound selected from:

	Combination with a compound selected from		
20	1)	an estrogen receptor modulator,	
	2)	an androgen receptor modulator,	
	3)	retinoid receptor modulator,	
	4)	a cytotoxic agent,	
	5)	an antiproliferative agent,	
25	6)	a prenyl-protein transferase inhibitor,	
	7)	an HMG-CoA reductase inhibitor,	
	8)	an HIV protease inhibitor,	
	9)	a reverse transcriptase inhibitor,	
	10)	an angiogenesis inhibitor,	
30	11)	a PPAR-γ agonists,	
	12)	a PPAR-δ agonists,	
	13)	an inhibitor of inherent multidrug resistance,	
	14)	an anti-emetic agent,	
	15)	an agent useful in the treatment of anemia,	
35	16)	an agent useful in the treatment of neutropenia,	

PCT/US03/10447 WO 03/086404

	17)	an immunologic-enhancing drug,
	18)	an inhibitor of cell proliferation and survival signaling, and
	19)	an agent that interfers with a cell cycle checkpoint.
5	21.	A method of treating cancer which comprises administering a
	therapeutically effect	ive amount of a compound of Claim 1 in combination with
	radiation therapy and a compound selected from:	
	. 1)	an estrogen receptor modulator,
	2)	an androgen receptor modulator,
10	3)	retinoid receptor modulator,
	4)	a cytotoxic agent,
	5)	an antiproliferative agent,
	6)	a prenyl-protein transferase inhibitor,
	7)	an HMG-CoA reductase inhibitor,
15	8)	an HIV protease inhibitor,
	9)	a reverse transcriptase inhibitor,
	10)	an angiogenesis inhibitor,
	11)	a PPAR-γ agonists,
	12)	a PPAR-δ agonists,
20	13)	an inhibitor of inherent multidrug resistance,
	14)	an anti-emetic agent,
	15)	an agent useful in the treatment of anemia,
	16)	an agent useful in the treatment of neutropenia,
	17)	an immunologic-enhancing drug,
25	18)	an inhibitor of cell proliferation and survival signaling, and

A method of treating or preventing cancer which comprises 22. administering a therapeutically effective amount of a compound of Claim 1 and paclitaxel or trastuzumab.

an agent that interfers with a cell cycle checkpoint.

19)